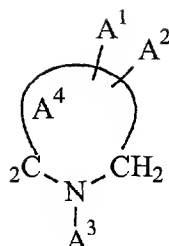


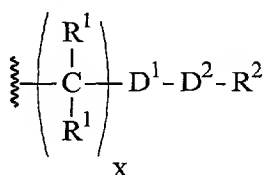
WHAT IS CLAIMED IS:

1. A compound having the structure:



or an optical isomer, diastereomer, enantiomer, or pharmaceutically-acceptable salt, or amide, ester, or imide susceptible to being cleaved *in vivo* by a mammalian subject to yield the compound, wherein:

- (a)  $A^1$  and  $A^2$  are each, independently, selected from the group consisting of a hydrogen atom and a group having the structure:



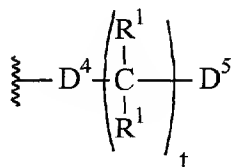
with the proviso that at  $A^1$  and  $A^2$  are not both hydrogen atoms, and wherein:

- (i) each  $R^1$  is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;
- (ii)  $x$  is from 0 to about 10;
- (iii)  $R^2$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(iv)  $D^1$  and  $D^2$  are each independently selected from the group consisting of  $-C(O)-$  and  $-NR^3-$ ; with the proviso that wherein when  $D^1$  is  $-NR^3-$  then  $D^2$  is  $-C(O)-$ , and wherein when  $D^2$  is  $-NR^3-$  then  $D^1$  is  $-C(O)-$ ; and

(v)  $R^3$  is selected from the group consisting of a hydrogen atom and  $R^2$ ; and

(b)  $A^3$  has the structure:



wherein:

(i) each  $R^1$  is independently selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group;

(ii)  $t$  is from 0 to about 6;

(iii)  $D^4$  is selected from the group consisting of  $-C(O)-$  and  $-CH(R^1)-$ ,

(iv)  $D^5$  is selected from the group consisting of  $-NHR^6$  and  $-OR^6$ , and

(v)  $R^6$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, a carbocyclic group, a substituted carbocyclic group, a heterocyclic group, a substituted heterocyclic group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group, with the proviso that wherein when:

(a)  $A^4$  is a heterocyclic group having 6 member atoms; and

(b)  $A^1$  or  $A^2$  is hydrogen; and

(c) each  $R^1$  is selected from the group consisting of a hydrogen atom, a hydroxyl group, a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group; and

(d) each  $R^2$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a carbocyclic group, a substituted carbocyclic group, an aromatic group, and a substituted aromatic group;

then  $R^6$  is not a quinolyl group; and

(c)  $A^4$  is a heterocyclic group having from 4 to 9 member atoms.

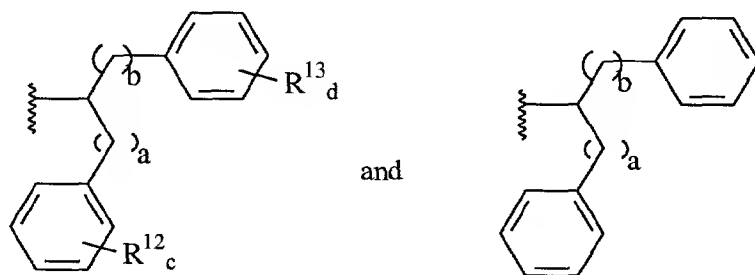
2. The compound according to Claim 1 wherein  $A^4$  is a heterocyclic group having 5 or 6 member atoms.

3. The compound according to Claim 2 wherein  $x$  is 0 to about 1.

4. The compound according to Claim 3 wherein at least one  $R^1$  is selected from the group consisting of a hydrogen atom and a hydroxyl group.

5. The compound according to Claim 4 wherein at least one  $R^2$  is selected from the group consisting of a hydrocarbon group, a substituted hydrocarbon group, a heterogeneous group, a substituted heterogeneous group, an aromatic group, a substituted aromatic group, a heteroaromatic group, and a substituted heteroaromatic group.

6. The compound according to Claim 5 wherein each  $R^2$  is selected from the group consisting of:



wherein:

- (a)  $a$  is at least about 2;
- (b)  $b$  is at least about 2;
- (c)  $c$  is about 1 to about 3;
- (d)  $d$  is about 1 to about 3; and

each  $R^{12}$  and  $R^{13}$  are each independently selected from the group consisting of hydrocarbon groups and substituted hydrocarbon groups.

7. The compound according to Claim 5 wherein  $D^4$  is  $-C(O)-$  and  $t$  is 0.

8. The compound according to Claim 5 wherein  $D^4$  is  $-C(O)-$  and  $D^5$  is  $-O_R^6$ .

9. The compound according to Claim 5 wherein  $D^4$  is  $-\text{CH}(\text{R}^1)-$  and  $D^5$  is  $-\text{O}_t\text{R}^6$ .
10. The compound according to Claim 5 wherein  $D^4$  is  $-\text{CH}(\text{R}^1)-$  and  $D^5$  is  $-\text{NHR}^6$ .
11. A composition comprising:
  - (a) the compound according to Claim 1; and
  - (b) a pharmaceutically acceptable carrier.
12. The composition according to Claim 11 wherein the compound inhibits transport protein activity.
13. A composition comprising:
  - (a) the compound according to Claim 5; and
  - (b) a pharmaceutically acceptable carrier.
14. The composition according to Claim 13 wherein the compound inhibits transport protein activity.
15. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 11.
16. A method selected from the group consisting of treating multidrug resistance, inhibiting transport protein activity; and combinations thereof, comprising administering to a mammal in need of such treatment or inhibition the composition according to Claim 13.